

PATENT COOPERATION TREATY

PCT

REC'D 27 JUN 2005

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY PCT

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PC2041000	FOR FURTHER ACTION		See Form PCT/PEA/416																
International application No. PCT/CA2004/000406	International filing date (<i>day/month/year</i>) 19.03.2004	Priority date (<i>day/month/year</i>) 21.03.2003																	
International Patent Classification (IPC) or national classification and IPC C07H17/00, C07H17/08, A61K31/7048, A61P31/04																			
Applicant APOTEX INC. et al.																			
<ol style="list-style-type: none"> 1. This report is the International preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36. 2. This REPORT consists of a total of 5 sheets, including this cover sheet. 3. This report is also accompanied by ANNEXES, comprising: <ol style="list-style-type: none"> a. <input type="checkbox"/> <i>sent to the applicant and to the International Bureau</i> a total of sheets, as follows: <ul style="list-style-type: none"> <input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. b. <input type="checkbox"/> (<i>sent to the International Bureau only</i>) a total of (Indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions). 4. This report contains indications relating to the following items: <table style="margin-left: 20px; border: none;"> <tr><td><input checked="" type="checkbox"/> Box No. I</td><td>Basis of the opinion</td></tr> <tr><td><input type="checkbox"/> Box No. II</td><td>Priority</td></tr> <tr><td><input type="checkbox"/> Box No. III</td><td>Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</td></tr> <tr><td><input type="checkbox"/> Box No. IV</td><td>Lack of unity of invention</td></tr> <tr><td><input checked="" type="checkbox"/> Box No. V</td><td>Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</td></tr> <tr><td><input type="checkbox"/> Box No. VI</td><td>Certain documents cited</td></tr> <tr><td><input type="checkbox"/> Box No. VII</td><td>Certain defects in the international application</td></tr> <tr><td><input type="checkbox"/> Box No. VIII</td><td>Certain observations on the international application</td></tr> </table> 				<input checked="" type="checkbox"/> Box No. I	Basis of the opinion	<input type="checkbox"/> Box No. II	Priority	<input type="checkbox"/> Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability	<input type="checkbox"/> Box No. IV	Lack of unity of invention	<input checked="" type="checkbox"/> Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement	<input type="checkbox"/> Box No. VI	Certain documents cited	<input type="checkbox"/> Box No. VII	Certain defects in the international application	<input type="checkbox"/> Box No. VIII	Certain observations on the international application
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Date of submission of the demand 15.10.2004		Date of completion of this report 27.06.2005																	
Name and mailing address of the International preliminary examining authority: European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016		Authorized Officer de Nooy, A Telephone No. +31 70 340-2338 																	

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/CA2004/000406

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:

- ☐ international search (under Rules 12.3 and 23.1(b))
☐ publication of the international application (under Rule 12.4)
☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

Description, Pages

1-8 as originally filed

Claims, Numbers

1-17 as originally filed

Drawings, Sheets

1-6 as originally filed

☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages
☐ the claims, Nos.
☐ the drawings, sheets/figs
☐ the sequence listing (*specify*):
☐ any table(s) related to sequence listing (*specify*):

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages
☐ the claims, Nos.
☐ the drawings, sheets/figs
☐ the sequence listing (*specify*):
☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
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International application No.
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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-17
	No: Claims	
Inventive step (IS)	Yes: Claims	6-10
	No: Claims	1-5, 11-17
Industrial applicability (IA)	Yes: Claims	1-17
	No: Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

**INTERNATIONAL PRELIMINARY
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Re Item V

**Reasoned statement with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

Reference is made to the following document:

D1: WO02/094843

Novelty

The present application meets the criteria of Article 33(2) PCT, because the subject-matter of claims 1-17 is considered novel for the following reason:

The document D1 discloses crystal Azithromycin form M having an azithromycin:water:isopropanol ratio of 1:1:0.5 (page 3 line 18). In the present application those ratio's are 1:0.75:0.5 and 1:1.5:0.25. The subject matter of claims 1-17 is therefore new.

Inventive step

The present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claims 1-5, 11-17 does not involve an inventive step in the sense of Article 33(3) PCT.

The document D1 is regarded as being the closest prior art to the subject-matter of claims 1-5, 11-17, and discloses crystal Azithromycin form M having an azithromycin:water:isopropanol ratio of 1:1:0.5.

The subject-matter of claims 1-5, 11-17 therefore differs from this known subject matter in that: different ratio's of azithromycin:water:isopropanol are claimed.

The problem to be solved by the present invention may therefore be regarded as the provision of further crystalline forms of azithromycin for use as antibiotic.

The solution proposed in claims 1-5, 11-17 of the present application cannot be considered as involving an inventive step (Article 33(3) PCT) for the following reasons: having knowledge of D1 and wishing to solve the above problem, the skilled person would vary the ratio of water and/or isopropanol in the crystal. Although another process than in D1 is used to obtain such variations, the process cannot not confer an inventive step to the claimed crystals themselves (only to the process). The only advantageous characteristic of

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one of the claimed crystal forms mentioned in the application is an improved stability (page 6 lines 6-7). However, this is not shown but just mentioned, therefore not substantiated in order to confer an inventive step to the crystal. For the other claimed crystal, no advantageous characteristic is mentioned at all. Thus, claims 1-5, 11-17 lack an inventive step.